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We claim:

1. A compound of the formula (I):

$$(R_3)_g \xrightarrow{2} N = R_2$$

$$R_2$$

wherein

A is CH or N;

n is 1 or 2;

when n is 1, y is 0 or 2;

when n is 2, y is 0;

g is 1 or 2;

each R₃ is independently hydrogen, C₁-C₆alkyl, or

wherein w is 1, 2, or 3;

R is selected from the group consisting of (a) - (w):

$$d) \qquad \bigvee_{N} (R)_{p}$$

$$i) \qquad \bigvee_{\substack{N \\ N}} O$$

$$(R_{18})_{p}$$
 N N R_{17}

s)
$$(P_{y_0})_p$$

-CH2OC1-C6alkyl;

wherein

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each R_4 , R_5 , R_6 , R_7 , R_8 , R_9 , R_{10} , R_{11} , R_{12} and R_{18} is independently hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl, - CO_2C_1 - C_6 alkyl or - CH_2OC_1 - C_6 alkyl; each R_{71} , R_{72} , R_{74} and R_{80} is independently hydrogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, trifluoromethyl, - CO_2C_1 - C_6 alkyl or

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 R_{73} is hydrogen, alkyl, pyridyl, benzyl, $-CH_2CF_3$, $-CO_2C_1-C_6$ alkyl, phenyl optionally substituted with halogen, trifluoromethyl, trifluoromethoxy or R_{73} is

wherein w is 1, 2 or 3 as hereinbefore defined;

each R_{75} is hydrogen, halogen, $C_1\text{-}C_6$ alkyl or $C_1\text{-}C_6$ alkoxy; each R_{76} is hydrogen, halogen, -CN or $C_1\text{-}C_6$ alkyl; each R_{77} is hydrogen, halogen, $C_1\text{-}C_6$ alkyl or $C_1\text{-}C_6$ alkoxy; each R_{78} hydrogen, halogen, $C_1\text{-}C_6$ alkyl or $C_1\text{-}C_6$ alkoxy; each R_{79} hydrogen, halogen, $C_1\text{-}C_6$ alkyl or $C_1\text{-}C_6$ alkoxy; p, s and x are 0, 1, or 2; each R_{13} is independently hydrogen, $C_1\text{-}C_6$ alkyl, halogen, benzyl, trifluoromethyl, $C_1\text{-}C_6$ alkoxy, nitro, -CN, or -COC $_1\text{-}C_6$ alkyl; R_{16} is $C_1\text{-}C_6$ alkyl; each R_{14} and R_{15} is independently hydrogen or $C_1\text{-}C_6$ alkyl; R_{17} is hydrogen, $C_1\text{-}C_6$ alkyl, Ar, -COAr, -CONHAr or -SO $_2$ -Ar wherein Ar is a phenyl group which is optionally mono- or di-substituted with substituents independently selected from $C_1\text{-}C_6$ alkyl, halogen, trifluoromethyl, $C_1\text{-}C_6$ alkoxy, nitro, CN and COC $_1$ -

$$-\begin{bmatrix} \mathsf{B} - \mathsf{C} \end{bmatrix}$$

represents a group selected from (a) - (f):

C₆alkyl; and

m is 0, 1, or 2;

b)

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(c)

(d)

(f)

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wherein

each R_{19} and R_{20} is independently hydrogen, hydroxy or C_{1} -C₆alkyl;

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 $R_{21},\,R_{22},\,\text{and}\,\,R_{23}$ are each independently hydrogen or $C_1\text{-}C_3$ linear alkyl; and d is 3 or 4;

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R₁ is a) hydrogen;

b) C₁-C₆alkyl optionally mono- or di-substituted with hydroxy; or

c)

wherein

each R_{24} is independently hydrogen or C_1 - C_6 alkyl; each R_{25} , and R_{26} is independently hydrogen or C_1 - C_6 alkyl;

t is 0 or 1; and q is 0 or 1;

 R_2 is a group selected from (a) – (jj):

(b)
$$(M)_h = (CR_{29 30u} R)_{30u}$$

(c)
$$-(CR_3R_3)$$
 $(R_{cs})_h$

(d)
$$-(CR_{33}R_3)_1$$
 $(R_{64})_h$

(e)
$$-(CR_{35}R_{36})_{j}$$
 $(R_{65})_{h}$

(f)

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(g)

(h)

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(i)

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(j)

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(k)

(l)

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(n)

ff)
$$--(CR_{130}R_{131})_{w} - S$$

$$---(CR_{130}R_{131})_{w} - S$$

gg)
$$--(CR_{133}R_{134w}-S-(CR_{135}R_{136q}-X_{136q})$$

ii)
$$--(CR_{39}R_{140})_{j} + N$$

wherein

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each R₂₇ and R₂₈ is independently selected from:

- (1) hydrogen;
- (2) C₁-C₆alkyl;
- (3) C₁-C₆alkoxy;
- (4) $-CO_2$ - R_{43} wherein R_{43} is hydrogen or C_1 - C_6 alkyl;
- (5) hydroxy;
- (6) $-(CH_2)_a$ -OR₄₄ wherein a is 1, 2 or 3 and R₄₄ is hydrogen or C₁-C₆alkyl;
- (7) –(CO)-NR₄₅R₄₆
 wherein R₄₅ and R₄₆ are each independently hydrogen, C₁-C₂alkyl, or R₄₅ and R₄₆ taken together form a 5-membered monocyclic ring;

z is 0 or 1;

e is 2, 3, 4, 5, 6 or 7;

h is 0, 1, 2 or 3;

u is 0, 1, 2, 3 or 4;

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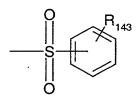
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o is 0 or 1;
I is 0 or 1;
j is 0, 1, 2 or 3;
v is 0, 1, 2, 3 or 4;
w is 1, 2 or 3 as hereinbefore defined;
f is 1, 2, 3 or 4;
t is 0 or 1 as hereinbefore defined;
b is 0, 1 or 2;
q is 0 or 1as hereinbefore defined;
aa is 0 or 2;

X is O, S or NR₉₀ wherein R₉₀ is hydrogen, C₁-C₆alkyl, or



wherein R₁₄₃ is hydrogen or alkyl;

each M and V is a group independently selected from hydrogen, halogen, C₁-C₆alkyl, C₁-C₆alkoxy, trifluoromethyl, hydroxy, phenyl, phenoxy, -SO₂NH₂ or

-NR $_{48}$ R $_{49}$ wherein R $_{48}$ and R $_{49}$ are each independently hydrogen or C $_1$ -C $_2$ alkyl;

each R₃₁, R₃₂, R₃₃, R₃₄, R₃₅, R₃₆, R₃₇, R₃₈, R₃₉, R₄₀, R₆₈, and R₆₉ is independently hydrogen or C₁-C₆alkyl; each R₂₉, R₃₀ is independently hydrogen, phenyl or C₁-C₆alkyl; each R₈₃, R₈₄, R₈₆, R₈₇, R₈₈, R₈₉, R₉₂, R₉₃, R₉₈, R₉₉, R₉₄, R₉₅, R₁₀₀, R₁₀₁, R₁₀₃, R₁₀₄, R₁₀₅, R₁₀₆, R₁₀₈, R₁₀₉, R₁₁₀, R₁₁₁,

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 R_{113} , R_{114} , R_{115} , R_{116} , R_{117} , R_{118} , R_{119} , R_{120} , R_{122} , R_{123} , R_{124} , R_{125} , R_{127} , R_{128} , R_{130} , R_{131} , R_{133} , R_{134} , R_{135} , R_{136} , R₁₃₇, R₁₃₈, R₁₃₉ and R₁₄₀ is independently hydrogen or C₁-C₆alkyl; each R₆₃, R₆₄ and R₆₅ is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; each R₆₆ is independently hydrogen, hydroxy, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; Q is CH₂, CHOH or C=O; X_5 is O or S; each R₆₇ is independently hydrogen or C₁-C₆alkyl; R₇₀ is hydrogen, C₁-C₆alkyl, halogen, nitro or a phenyl group optionally mono-substituted with C₁-C₆alkyl, halogen or trifluoromethyl; R₈₁ is hydrogen, C₁-C₆alkyl, or -CO₂C₁-C₆alkyl; R₉₁ is hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy; R₉₆ is hydrogen, C₁-C₆alkyl or

wherein R_{145} and R_{146} are each independently hydrogen or C_1 - C_6 alkyl and b is 0, 1 or 2 as hereinbefore defined;

 R_{97} is hydrogen or C_1 - C_6 alkyl; each R_{102} is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; R_{107} is hydrogen or C_1 - C_6 alkyl; each R_{121} is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; R_{127} is hydrogen or C_1 - C_6 alkyl; R_{126} is C_1 - C_6 alkyl or benzyl; R_{129} is hydrogen or C_1 - C_6 alkyl; R_{132} is hydrogen, C_1 - C_6 alkyl, halogen or C_1 - C_6 alkoxy; X_3 is O or -NR₁₂₇ wherein R₁₂₇ is hydrogen or C_1 - C_6 alkyl;

 X_4 is O, S or -NR₁₄₃ wherein R₁₄₃ is hydrogen or C₁-C₆alkyl;

 R_{141} is hydrogen, $C_1\text{-}C_6$ alkyl or amino;

 R_{142} is benzyl or phenyl each of which may be optionally substituted with C_1 - C_6 alkyl, halogen or C_1 - C_6 alkoxy; R_{144} is hydrogen or C_1 - C_6 alkyl;

 R_{85} is hydrogen, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, - CO_2 C₁- C_6 alkyl, C(O)C₁- C_6 alkyl or a group selected from the following:

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a)
$$\begin{pmatrix} NH_2 \\ NH \end{pmatrix}$$

c)
$$(CR_{150}R_{151})_{w}$$
 $(CR_{152}R_{153})_{e}$

wherein

j is 0, 1, 2 or 3 as hereinbefore defined; w is 1, 2 or 3 as hereinbefore defined; m is 0, 1 or 2 as hereinbefore defined; e is 2, 3, 4, 5, 6 or 7 as hereinbefore defined;

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each R₁₄₇, R₁₄₈, R₁₅₀, R₁₅₁, R₁₅₂, R₁₅₃, R₁₅₆, R₁₅₇, R₁₅₉, R₁₆₀ R₁₆₂ and R₁₆₃ is independently hydrogen or C₁-C₆alkyl;

R₁₄₉ is hydrogen, halogen, C₁-C₆alkyl, phenoxy, trifluoromethyl or trifluoromethoxy;

R₁₅₅ is hydrogen, halogen or C₁-C₆alkyl;

R₁₅₈ is hydrogen or C₁-C₆alkyl;

R₁₆₁ is hydrogen or C₁-C₆alkyl;

R₁₆₄ is hydrogen, halogen, C₁-C₆alkyl or trifluoromethyl;

R₁₆₅ is hydrogen, C₁-C₆alkyl or halogen;

 X_7 is O or S or -NR₁₆₇ wherein R₁₆₇ is hydrogen or C₁-C₆alkyl;

R₁₆₆ is hydrogen or C₁-C₆alkyl;

or R₁ and R₂ are joined together to form a 5-, 6-, or 7-membered monocyclic saturated ring, and in which the ring is optionally mono- or di-substituted, the substituents independently selected from:

- (1) C₁-C₆alkyl;
- (2) $-CO_2-(C_1-C_6alkyl)$;
- (3) -NR₅₀R₅₁ wherein R₅₀ and R₅₁ are each independently hydrogen, C₁-C₆alkyl, or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C₁-C₆alkyl, halogen or trifluoromethyl;
- (4) -C(O)phenyl wherein the phenyl group is optionally mono- or disubstituted with substituents independently selected from C₁-C₆alkyl, halogen or trifluoromethyl;
- (5) $-(CH_2)_mOR_{52}$ wherein R_{52} is hydrogen or C_1 - C_2 alkyl or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C₁-C₆alkyl, halogen or trifluoromethyl, and m is 0, 1 or 2 as hereinbefore defined;
- (6) -NR₅₄-COR₅₃ wherein R₅₄ is hydrogen or C₁-C₆alkyl and R₅₃ is hydrogen or C₁-C₂alkyl;
- (7) = 0;
- (8) -CN;

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(9) (R_{167}) (R_{167})

(10)

(11)

(12)

15 (13)

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(16)

wherein

b is 0, 1 or 2 as hereinbefore defined;

w is 1, 2 or 3 as hereinbefore defined;

t is 0 or 1 as hereinbefore defined;

i is 0, 1 or 2;

v is 0, 1, 2, 3 or 4 as hereinbefore defined;

k is 0 or 1 as hereinbefore defined;

c are 0, 1 or 2;

R₁₆₇ is hydrogen or C₁-C₆alkyl;

each R_{55} , R_{56} , R_{58} , R_{59} , R_{169} and R_{170} is independently

hydrogen or C₁-C₆alkyl;

each R₅₇ is independently hydrogen, halogen or

C₁-C₆alkyl;

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each R₆₀ is independently hydrogen, halogen or

C₁-C₆alkyl;

 R_{61} and R_{62} are each independently hydrogen or

C₁-C₆alkyl;

R₁₆₈ is hydrogen, thienyl or furanyl;

317

R₁₇₁ is hydrogen, C₁-C₆alkyl, halogen, trifluoromethyl or

trifluoromethoxy;

or R₁ and R₂ are joined together to form a group of formula X;

$$-N$$

or R₁ and R₂ are joined together to form the group of formula (Y)

or R₁ and R₂ are joined together to form any of the following groups:

(a) (b)
$$-N - R_{82} - R_{172}$$

(c)
$$Me$$
 (d) R_{173}

wherein

g is 1 or 2 as hereinbefore defined;

p is 0, 1 or 2 as hereinbefore defined;

R₁₇₂ is hydrogen, C₁-C₆alkyl or C₁-C₆alkoxy;

 R_{173} is hydrogen, $C_1\text{-}C_6$ alkyl or phenyl optionally mono- or disubstituted with $C_1\text{-}C_6$ alkyl or halogen; and

R₈₂ is a substituent selected from the following groups:

- (a) C₁-C₆alkyl optionally substituted with hydroxy;
- (b) C₁-C₆alkenyl;
- (c) C₁-C₆alkoxy;
- (d) -(CH₂)OC₁-C₆alkyl;
- (e)

$$-X_{8}$$
 $(R_{174})_{j}$
wherein X_{8} is -($CR_{175}R_{178}$ in or $-(CR_{177}=CR_{188})$

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wherein each R₁₇₄ is independently hydrogen, C₁-C₆alkyl, halogen, trifluoromethyl, C₁-C₆alkoxy or benzyloxy; h is 0, 1, 2 or 3 as hereinbefore defined; each R₁₇₅, R₁₇₆, R₁₇₇ and R₁₇₈ is independently hydrogen or C₁-C₆alkyl; and j is 0, 1, 2 or 3 as hereinbefore defined;

wherein w is 1, 2 or 3 as hereinbefore defined;

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each R_{188} and R_{189} is independently hydrogen or C_1 - C_6 alkyl;

(h)

wherein

i is 0, 1 or 2 as hereinbefore defined; each R_{190} is independently hydrogen, alkyl or halogen; b is 0, 1, or 2 as hereinbefore defined; each R_{191} and R_{192} is independently

(i)

hydrogen or C₁-C₆alkyl;

wherein

a is 1, 2 or 3 as hereinbefore defined; each R_{193} and R_{194} is independently hydrogen or C_1 - C_6 alkyl; R_{195} is hydrogen, halogen or C_1 - C_6 alkyl;

(j)

wherein

e is 2, 3, 4, 5 or 6 as hereinbefore defined; b is 0, 1 or 2 as hereinbefore defined;

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each R_{196} and R_{197} is independently hydrogen or C_1 - C_6 alkyl; each R_{198} and R_{199} is independently hydrogen or C_1 - C_6 alkyl;

(I)

 $H \circ O$ $H \circ$

(0)
$$-(CR_{208}R_{209}) -NR_{210}R_{211}$$

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wherein

each R₂₀₈, R₂₀₉, R₂₁₀ and R₂₁₁ is independently hydrogen or C₁-C₆alkyl; w is 1, 2 or 3 as hereinbefore defined;

(p)

with the proviso that when n is 1; and y is 0; and R₃ is hydrogen or C₁-C₆alkyl; and

and R is group:

(a) wherein R_4 is hydrogen, halogen or C_1 - C_6 alkyl, and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl,

then R_2 cannot be a group of the following formula:

- (a) wherein z is 0,
- (b) wherein u is 0 and M is hydrogen, halogen, C₁-C₆alkyl, or trifluoromethyl,
- (c) wherein o is 0,
- (d) wherein I is 0,
- (e) wherein i is 0,
- (g) wherein v is 0, or

(i);

and also when R is the group of formula (a), R_1 and R_2 cannot be joined together to form the group of formula Y or a 5-, 6-, or 7-membered monocyclic ring wherein said ring is unsubstituted or mono- or disubstituted with C_1 - C_6 alkyl;

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- (a),
- (b),
- (c) wherein o is 0,
- (d) wherein I is 0,
- (i),
- (k),
- (l), or
- (m) wherein Q is CH₂;

and also when R is the group of formula (b), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

323

(b) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group

wherein said ring is unsubstituted or mono- or di-substituted with $C_1\text{-}C_6$ alkyl or

of the following formula:

- (c) wherein o is 0,
- (d) wherein I is 0, or
- (i);

(d) and R₁ is hydrogen or unsubstituted C₁-C₀alkyl, then R₂ cannot be a group of the following formula:

(c) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group

- (a),
- (b) wherein u is 1,
- (c) wherein o is 0,

- (d),
- (i),
- (k),
- (l), or
- (m) wherein Q is CH₂;

and also when R is the group of formula (d), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with $C_1\text{-}C_6$ alkyl or

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- (e) and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl, then R_2 cannot be a group of the following formula:
 - (a),
 - (b),
 - (c) wherein o is 0,
 - (d),
 - (i),
 - (k),
 - (l), or

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(m) wherein Q is CH₂;

and also when R is the group of formula (e), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

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wherein said ring is unsubstituted or mono- or di-substituted with $C_1\text{-}C_6$ alkyl or

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(f) and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl, then R_2 cannot be a group of the following formula:

- (a),
- (b),
- (c) wherein o is 0,
- (d),
- (i),
- (k),
- (I), or
- (m) wherein Q is CH₂;

and also when R is the group of formula (f), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with $C_1\text{-}C_6$ alkyl or

(g) and R_1 is hydrogen or unsubstituted $C_1\text{-}C_6$ alkyl, then R_2 cannot be a group of the following formula:

- (a),
- (b) wherein u is1,
- (c) wherein o is 0,
- (d),
- (i),

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(k),

(I), or

(m) wherein Q is CH₂;

and also when R is the group of formula (g), R1 and R2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C1-C6alkyl or

(h) and R_{1} is hydrogen or unsubstituted $C_{1}\text{-}C_{6}\text{alkyl},$ then R_{2} cannot be a group

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(a),

(b),

(c) wherein o is 0,

of the following formula:

(d),

(i),

(k),

(l), or

(m) wherein Q is CH₂;

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and also when R is the group of formula (h), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or

$$(CR_{58}R_{59})_{k}$$
 ; or

(j), then R₁ and R₂ cannot be joined together to form a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl.

- 2. The compound of claim 1 wherein R is group (a).
- 3. The compound of claim 2 wherein R₄ is halogen or CF₃.
- 4. The compound of claim 3 wherein R_2 is group (a).
- 5. The compound of claim 4 wherein z is 0 or 1;
- e is 5 and each R₂₇ and R₂₈ is independently selected from hydrogen or C₁-C₆alkyl.
 - 6. The compound of claim 3 wherein R₂ is group (b).
 - 7. The compound of claim 6 wherein M is hydrogen, C₁-C₆alkoxy or C₁-C₆alkyl and u is 0 or 1.
 - 8. The compound of claim 3 wherein R_2 is group (n).
 - 20 9. The compound of claim 8 wherein R_{70} is hydrogen and f is 3.
 - 10. The compound of claim 1 wherein R is group (k).
 - 11. The compound of claim 10 wherein R₁₂ is hydrogen, C₁-C₆alkyl, or -CH₂OC₁-C₆alkyl.
 - 12. The compound of claim 11 wherein wherein R₂ is group (a).
 - 13. The compound of claim 12 wherein z is 0 or 1;
- e is 5 and each R₂₇ and R₂₈ is independently selected from hydrogen or C1-C6alkyl.
 - 14. The compound of claim 11 wherein R₂ is group (b).
 - 15. The compound of claim 14 wherein M is hydrogen, C₁-C₆alkoxy or C₁-C₆alkyl and u is 0 or 1.
 - 16. The compound of claim 11 wherein R₂ is group (n).
- 17. The compound of claim 17 wherein R_{70} is hydrogen and f is 3.
 - 18. The compound of claim 1 which is 2-[4-(6-trifluoromethyl-benzo[*b*]thiophen-3-yl)-piperazin-1-ylmethyl]-*trans*-cyclopropanecarboxylic acid (*trans*-4-ethyl-cyclohexyl)-amide.

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- The compound of claim 1 which is 2-[4-(2,4-dimethyl-phenyl)-piperazin-1-ylmethyl]-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-ethyl-cyclohexyl)-amide.
- 20. The compound of claim 1 which is 2-[4-(chloro-trifluoromethyl-pyridin-2-yl)-piperazin-1-ylmethyl]-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-ethyl-cyclohexyl)-amide.
- 21. The compound of claim 1 which is 2-[4-(2,5-dimethyl-phenyl)-piperazin-1-ylmethyl]-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-ethyl-cyclohexyl)-amide.
- The compound of claim 1 which is 2-[4-(6-trifluoromethyl-benzo[b]thiophen-3-yl)-piperazin-1-ylmethyl]-(2R, 3R)-cyclopropanecarboxylic acid (trans-4-methyl-cyclohexyl)-amide.
 - 23. The compound of claim 1 which is 2-(4-thieno[2,3-d]isoxazol-3-yl-piperidin-1-ylmethyl)-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-methyl-cyclohexyl)-amide.
 - 24. The compound of claim 1 which is 2-[4-o-tolyl-piperazin-1-ylmethyl]-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-ethyl-cyclohexyl)-amide.
 - 25. The compound of claim 1 which is 4-[4-(6-fluoro-benzo[*b*]thiophen-3-yl)-piperazin-1-yl]-*N*-(*trans*-4-methyl-cyclohexyl)-butyramide.
 - 26. The compound of claim 1 which is 2-(4-thieno[2,3-d]isoxazol-3-yl-piperidin-1-ylmethyl)-(2R, 3R)-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.
 - 27. The compound of claim 1 which is 2-(4-thieno[2,3-d]isoxazol-3-yl-piperazin-1-ylmethyl)-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-methyl-cyclohexyl)-amide.
- 28. The compound of claim 1 which is 2R-[4-(1-Methyl-1H-thieno[3,2-c]pyrazol-3-yl)-piperazin-1-ylmethyl]-cyclopropane-1R-carboxylic trans-(4-methyl-cyclohexyl)-amide.
 - 29. The compound of claim 1 which is 2R-[4-(5-Trifluoromethyl-benzo[d]isoxazol-3-yl)-piperidin-1-ylmethyl]- cyclopropane-1R-carboxylic acid trans-(4-methyl-cyclohexyl)-amide.

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- 30. The compound of claim 1 which is (3S-Imidazol-1-ylmethyl-piperidin-1-yl)-{2R-[4-(7-methoxy-benzo[d]isoxazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropyl}-methanone.
- 31. The compound of claim 1 which is 2R-[4-(1-Methyl-7-trifluoromethyl-1H-indazol-3-yl)-piperidin-1-ylmethyl]-1R-cycloproanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.
- 10 32. The compound of claim 1 which is (3S-lmidazol-1-ylmethyl-piperidin-1-yl)-{2R-[4-(7-trifluoromethyl-benzo[d]isoxazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropyl}-methanone.
 - 33. The compound of claim 1 which is 2R-[4-(7-Trifluoromethyl-benzo[b]thiophen-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropanecarboxylic acid (trans-4-methyl-cyclohexyl)-amide.
 - 34. The compound of claim 1 which is (3S-Imidazol-1-ylmethyl-piperidin-1-yl)-{2R-[4-(1-methyl-6-trifluoromethyl-1H-indazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropyl}-methanone.
 - 35. The compound of claim 1 which is 2R-[4-(6-Trifluoromethyl-benzo[d]isoxazol-3-yl)-piperidin-1-ylmethyl]-1R-cycloproanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.
 - 36. The compound of claim 1 which is (3S-Imidazol-1-ylmethyl-piperidin-1-yl)-{2R-[4-(6-trifluoromethyl-benzo[b]thiophen-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropyl}-methanone.
- 37. The compound of claim 1 which is 2R-[4-(6-Fluoro-7-methoxy-benzo[d]isoxazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopranecarboxylic acid (trans-4-methyl-cyclohexyl)-amide.

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- 38. The compound of claim 1 which is 2R-[4-(1-Methyl-1H-thieno[3,2-c]pyrazol-3-yl)-piperidin-1-ylmethyl]-1R-cycloproanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.
- 39. The compound of claim 1 which is 2R-{4-[1-(2,2,2-Trifluoro-ethyl)-1H- thieno[3,2-c]pyrazol-3-yl)-piperidin-1-ylmethyl]-1R-cycloproanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.
- 40. The compound of claim 1 which is 2R-(4-Thieno[2,3-d]isoxazol-3-yl-piperazin-1-ylmethyl)-1R-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide
- 41. The compound of claim 1 which is 2R-(4-Benzo[b]thiophen-2-yl-piperidin-1-ylmethyl)-1R-cyclopranecarboxylic acid (trans-4-methyl-cyclohexyl)-amide.
- 42. The compound of claim 1 which is 2R-[4-(5,6-Dihydro-4H-imidazo[4,5,1-ij]quinolin-2-yl)-piperazin-1-ylmethyl]-1R-cyclopranecarboxylic acid (trans-4-methyl-cyclohexyl)-amide.
- 20 43. The compound of claim 1 which is 2R-(4-Thieno[2,3-b]pyridin-3-yl-piperazin-1-ylmethyl)-1R-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide
 - 44. The compound of claim 1 which is 1-{2-[4-(6-Trifluoromethyl-benzo[b]thiophen-3-yl)-piperazin-1-yl]-ethyl}-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.
 - 45. A method of modulating the activity of dopamine D₃ receptors, said method comprising: contacting cell-associated dopamine D₃ receptors with a concentration of a compound of formula IB, or a physiologically acceptable salt thereof, sufficient to modulate the activity of said dopamine D₃ receptor wherein said compound of formula IB has the structure:

wherein

A is CH or N;

n is 1 or 2;

when n is 1, y is 0 or 2;

when n is 2, y is 0;

g is 1 or 2;

each R₃ is independently hydrogen, C₁-C₆alkyl, or

wherein w is 1, 2, or 3;

R is selected from the group consisting of (a) - (w):

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f)

h)

$$b) \quad \bigvee_{N} \stackrel{P_{g_p}}{\bigvee_{p}}$$

$$d) \qquad \bigvee_{N} (R)_{p}$$

$$(R_{72})_{p}$$

$$(R_{72})_{p}$$

$$N$$

$$R_{73}$$

r)
$$(R_p)_p$$

s)
$$(R_{76})_p$$

t) $(R_{p})_{p}$ N

u)
$$(R_{78})$$

v) (R₇₉) N S

wherein

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each R_4 , R_5 , R_6 , R_7 , R_8 , R_9 , R_{10} , R_{11} , R_{12} and R_{18} is independently hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl, - CO_2C_1 - C_6 alkyl or - CH_2OC_1 - C_6 alkyl; each R_{71} , R_{72} , R_{74} and R_{80} is independently hydrogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, trifluoromethyl, - CO_2C_1 - C_6 alkyl or - CH_2OC_1 - C_6 alkyl;

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R₇₃ is hydrogen, alkyl, pyridyl, benzyl, -CH₂CF₃, -CO₂C₁-C₆alkyl, phenyl optionally substituted with halogen, trifluoromethyl, trifluoromethoxy or R₇₃ is

wherein w is 1, 2 or 3 as hereinbefore defined;

each R₇₅ is hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy; each R₇₆ is hydrogen, halogen, -CN or C₁-C₆alkyl; each R₇₇ is hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy; each R₇₈ hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy; each R₇₉ hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy; p, s and x are 0, 1, or 2; each R₁₃ is independently hydrogen, C₁-C₆alkyl, halogen, benzyl, trifluoromethyl, C₁-C₆alkoxy, nitro, -CN, or -COC₁-C₆alkyl; R₁₆ is C₁-C₆alkyl; each R₁₄ and R₁₅ is independently hydrogen or C₁-C₆alkyl; R₁₇ is hydrogen, C₁-C₆alkyl, Ar, -COAr, -CONHAr or -SO₂-Ar wherein Ar is a phenyl group which is optionally mono- or di-substituted with substituents independently selected from C₁-C₆alkyl,

halogen, trifluoromethyl, C1-C6alkoxy, nitro, CN and COC1-C₆alkyl; and

m is 0, 1, or 2;

$$-\begin{bmatrix} \mathbf{0} \\ \mathbf{B} \\ -\mathbf{C} \end{bmatrix}$$

represents a group selected from (a) - (f):

b)

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(e)

(c)

(d)

(f)

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wherein

each R_{19} and R_{20} is independently hydrogen, hydroxy or C_{1} -C₆alkyl;

 $\ensuremath{R_{21}},\,\ensuremath{R_{22}},\,\ensuremath{and}\,\ensuremath{R_{23}}$ are each independently hydrogen or $C_1\text{-}C_3$ linear alkyl; and d is 3 or 4;

of the first of the series and the series of the series of

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R₁ is a) hydrogen;

b) C₁-C₆alkyl optionally mono- or di-substituted with hydroxy; or

c)

wherein

each R_{24} is independently hydrogen or $C_1\text{-}C_6$ alkyl; each R_{25} , and R_{26} is independently hydrogen or

C₁-C₆alkyl;

t is 0 or 1; and

q is 0 or 1;

 R_2 is a group selected from (a) – (jj):

(a)
$$---(CH_2)_z ---(CR_{2728}^R)_z$$

(b)
$$(M)_h$$
 $(CR_{29.30u}^R)_{30u}$

(c)
$$-(CR_3R_3)$$
 $(R_{63})_h$

(d)
$$-(CR_{33}R_{34})_1$$
 $(R_{64})_h$

(e)
$$-(CR_{35}R_{36})_{j}$$
 $(R_{65})_{h}$

(f)

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(g)

(h)

(i)

(k)

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15 (j)

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(1)

(m)

15 (n)

ff)
$$-(CR_{130}R_{131})_{w} - S$$

gg)
$$(O)_{aa} (CR_{133}R_{134}) - (CR_{135}R_{136}) - (CR_{135}R_{136}R_{136}) - (CR_{135}R_{136}R_{136}) - (CR_{135}R_{136}R_{136}R_{136}) - (CR$$

ii)
$$--(CR_{39}R_{40})_{j}$$
 N

wherein

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each R₂₇ and R₂₈ is independently selected from:

- (8) hydrogen;
- (9) C₁-C₆alkyl;
- (10) C_1 - C_6 alkoxy;
- (11) $-CO_2$ -R₄₃ wherein R₄₃ is hydrogen or C_1 -C₆alkyl;
- (12) hydroxy;
- (13) $-(CH_2)_a$ -OR₄₄ wherein a is 1, 2 or 3 and R₄₄ is hydrogen or C₁-C₆alkyl;
- (14) –(CO)-NR₄₅R₄₆
 wherein R₄₅ and R₄₆ are each independently hydrogen, C₁-C₂alkyl, or R₄₅ and R₄₆ taken together form a 5-membered monocyclic ring;

z is 0 or 1;

e is 2, 3, 4, 5, 6 or 7;

h is 0, 1, 2 or 3;

u is 0, 1, 2, 3 or 4;

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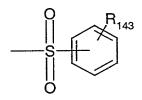
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o is 0 or 1;
I is 0 or 1;
j is 0, 1, 2 or 3;
v is 0, 1, 2, 3 or 4;
w is 1, 2 or 3 as hereinbefore defined;
f is 1, 2, 3 or 4;
t is 0 or 1 as hereinbefore defined;
b is 0, 1 or 2;
q is 0 or 1as hereinbefore defined;

X is O, S or NR₉₀ wherein R₉₀ is hydrogen, C₁-C₆alkyl, or



aa is 0 or 2;

wherein R₁₄₃ is hydrogen or alkyl;

each M and V is a group independently selected from hydrogen, halogen, C₁-C₆alkyl, C₁-C₆alkoxy, trifluoromethyl, hydroxy, phenyl, phenoxy, -SO₂NH₂ or



-NR₄₈R₄₉ wherein R₄₈ and R₄₉ are each independently hydrogen or C₁-C₂alkyl;

each R_{31} , R_{32} , R_{33} , R_{34} , R_{35} , R_{36} , R_{37} , R_{38} , R_{39} , R_{40} , R_{68} , and R_{69} is independently hydrogen or C_1 - C_6 alkyl; each R_{29} , R_{30} is independently hydrogen, phenyl or C_1 - C_6 alkyl; each R_{83} , R_{84} , R_{86} , R_{87} , R_{88} , R_{89} , R_{92} , R_{93} , R_{98} , R_{99} , R_{94} ,

R₉₅, R₁₀₀, R₁₀₁, R₁₀₃, R₁₀₄, R₁₀₅, R₁₀₆, R₁₀₈, R₁₀₉, R₁₁₀, R₁₁₁,

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 R_{113} , R_{114} , R_{115} , R_{116} , R_{117} , R_{118} , R_{119} , R_{120} , R_{122} , R_{123} , R₁₂₄, R₁₂₅, R₁₂₇, R₁₂₈, R₁₃₀, R₁₃₁, R₁₃₃, R₁₃₄, R₁₃₅, R₁₃₆, R₁₃₇, R₁₃₈, R₁₃₉ and R₁₄₀ is independently hydrogen or C₁-C₆alkyl; each R₆₃, R₆₄ and R₆₅ is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; each R₆₆ is independently hydrogen, hydroxy, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; Q is CH₂, CHOH or C=O; X_5 is O or S; each R₆₇ is independently hydrogen or C₁-C₆alkyl; R₇₀ is hydrogen, C₁-C₆alkyl, halogen, nitro or a phenyl group optionally mono-substituted with C₁-C₀alkyl, halogen or trifluoromethyl; R₈₁ is hydrogen, C₁-C₆alkyl, or -CO₂C₁-C₆alkyl; R₉₁ is hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy;

R₉₆ is hydrogen, C₁-C₆alkyl or

wherein R₁₄₅ and R₁₄₆ are each independently hydrogen or C₁-C₆alkyl and b is 0, 1 or 2 as hereinbefore defined;

 R_{97} is hydrogen or C_1 - C_6 alkyl; each R_{102} is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; R_{107} is hydrogen or C_1 - C_6 alkyl; each R_{121} is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; R_{127} is hydrogen or C_1 - C_6 alkyl; R_{126} is C_1 - C_6 alkyl or benzyl; R_{129} is hydrogen or C_1 - C_6 alkyl;

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 R_{132} is hydrogen, C_1 - C_6 alkyl, halogen or C_1 - C_6 alkoxy;

 X_3 is O or -NR₁₂₇ wherein R₁₂₇ is hydrogen or

C₁-C₆alkyl;

 X_4 is O, S or -NR₁₄₃ wherein R₁₄₃ is hydrogen or

C₁-C₆alkyl;

 R_{141} is hydrogen, C_1 - C_6 alkyl or amino;

R₁₄₂ is benzyl or phenyl each of which may be optionally substituted with C₁-C₆alkyl, halogen or C₁-C₆alkoxy;

R₁₄₄ is hydrogen or C₁-C₆alkyl;

 R_{85} is hydrogen, $C_1\text{-}C_6$ alkoxy, $C_1\text{-}C_6$ alkyl, $\text{-}CO_2C_1\text{-}C_6$ alkyl,

 $C(O)C_1$ - C_6 alkyl or a group selected from the following:

b)
$$(CR_{147}R_{148})_{w}$$
 $(R_{149})_{j}$

c)
$$(CR_{150}R_{151})_{w}$$
 $(CR_{152}R_{153})_{e}$

f)
$$R_{161}$$

$$--(CR_{159}R_{160_w}R_{N})$$

g)
$$R_{164}$$
 R_{162} R_{163} R_{164}

wherein

j is 0, 1, 2 or 3 as hereinbefore defined; w is 1, 2 or 3 as hereinbefore defined; m is 0, 1 or 2 as hereinbefore defined; e is 2, 3, 4, 5, 6 or 7 as hereinbefore defined;

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each R₁₄₇, R₁₄₈, R₁₅₀, R₁₅₁, R₁₅₂, R₁₅₃, R₁₅₆, R₁₅₇, R₁₅₉, R₁₆₀ R₁₆₂ and R₁₆₃ is independently hydrogen or C₁-C₆alkyl;

R₁₄₉ is hydrogen, halogen, C₁-C₆alkyl, phenoxy, trifluoromethyl or trifluoromethoxy;

R₁₅₅ is hydrogen, halogen or C₁-C₆alkyl;

R₁₅₈ is hydrogen or C₁-C₆alkyl;

R₁₆₁ is hydrogen or C₁-C₆alkyl;

R₁₆₄ is hydrogen, halogen, C₁-C₆alkyl or trifluoromethyl;

R₁₆₅ is hydrogen, C₁-C₆alkyl or halogen;

 X_7 is O or S or -NR₁₆₇ wherein R₁₆₇ is hydrogen or C₁-C₆alkyl; R₁₆₆ is hydrogen or C₁-C₆alkyl;

or R₁ and R₂ are joined together to form a 5-, 6-, or 7-membered monocyclic saturated ring, and in which the ring is optionally mono- or di-substituted, the substituents independently selected from:

- (1) C_1 - C_6 alkyl;
- (9) $-CO_2-(C_1-C_6alkyl)$;
- (10) $-NR_{50}R_{51}$ wherein R_{50} and R_{51} are each independently hydrogen, C₁-C₆alkyl, or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C₁-C₆alkyl, halogen or trifluoromethyl;
- -C(O)phenyl wherein the phenyl group is optionally mono- or disubstituted with substituents independently selected from C₁-C₆alkyl, halogen or trifluoromethyl;
- (12) $-(CH_2)_mOR_{52}$ wherein R_{52} is hydrogen or C_1 - C_2 alkyl or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C₁-C₆alkyl, halogen or trifluoromethyl, and m is 0, 1 or 2 as hereinbefore defined;
- -NR₅₄-COR₅₃ wherein R₅₄ is hydrogen or C₁-C₆alkyl and R₅₃ is hydrogen or C₁-C₂alkyl;
- (14)=O;
- (15)-CN;

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(9)

$$-(CR_{55}R_{56})_{i}$$

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(10)

(11)

(12)

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(13)
$$(CR_{58}R_{59})_{k}$$

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(15)

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$$-0 \longrightarrow R_{171}$$

wherein

b is 0, 1 or 2 as hereinbefore defined;

w is 1, 2 or 3 as hereinbefore defined;

t is 0 or 1 as hereinbefore defined;

i is 0, 1 or 2;

v is 0, 1, 2, 3 or 4 as hereinbefore defined;

k is 0 or 1 as hereinbefore defined;

c are 0, 1 or 2;

R₁₆₇ is hydrogen or C₁-C₆alkyl;

each R₅₅, R₅₆, R₅₈, R₅₉, R₁₆₉ and R₁₇₀ is independently

hydrogen or C₁-C₆alkyl;

each R₅₇ is independently hydrogen, halogen or

C₁-C₆alkyl;

each R₆₀ is independently hydrogen, halogen or

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C₁-C₆alkyl;

R₆₁ and R₆₂ are each independently hydrogen or

C₁-C₆alkyl;

R₁₆₈ is hydrogen, thienyl or furanyl;

R₁₇₁ is hydrogen, C₁-C₆alkyl, halogen, trifluoromethyl or trifluoromethoxy;

or R₁ and R₂ are joined together to form a group of formula X;

$$-N$$

or R₁ and R₂ are joined together to form the group of formula (Y)

$$-N$$
 CH_3
 CH_3
 CH_3

or R_1 and R_2 are joined together to form any of the following groups:

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(b) (a)

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wherein

g is 1 or 2 as hereinbefore defined;

p is 0, 1 or 2 as hereinbefore defined;

R₁₇₂ is hydrogen, C₁-C₆alkyl or C₁-C₆alkoxy;

R₁₇₃ is hydrogen, C₁-C₆alkyl or phenyl optionally mono- or disubstituted with C1-C6alkyl or halogen; and

R₈₂ is a substituent selected from the following groups:

- (a) C_1 - C_6 alkyl optionally substituted with hydroxy;
- (b) C₁-C₆alkenyl;
- (c) C₁-C₆alkoxy;
- (d) $-(CH_2)OC_1-C_6$ alkyl;
- (e)

$$-X_{8}$$
 $(R_{174})_{j}$
wherein X_{8} is - $(CR_{175}R_{176}R_{176})_{h}$ or $-(CR_{177}=CR_{188})_{h}$

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wherein each R_{174} is independently hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl, C_1 - C_6 alkoxy or benzyloxy; h is 0, 1, 2 or 3 as hereinbefore defined; each R_{175} , R_{176} , R_{177} and R_{178} is independently hydrogen or C_1 - C_6 alkyl; and j is 0, 1, 2 or 3 as hereinbefore defined;

$$(O)_{aa}$$
 $-S-X_9$

wherein X_9 is - $(CR_{180}R_{187})_{-1}$ or

 $-(CR_{184}R_{185}CR_{186}=CR_{187})$ or

(f)

wherein

aa is 0 or 2 as hereinbefore defined; $R_{179} \mbox{ is hydrogen, } C_1\text{-}C_6\mbox{alkyl, halogen,} \\ \mbox{trifluoromethyl,}$

 C_1 - C_6 alkoxy, benzyloxy or phenyl; each R_{180} , R_{181} , R_{182} , R_{183} , R_{184} , R_{185} , R_{186} and R_{187} is independently hydrogen or C_1 - C_6 alkyl;

j is 0, 1, 2, or 3 as hereinbefore defined;

wherein w is 1, 2 or 3 as hereinbefore defined;

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each R_{188} and R_{189} is independently hydrogen or C_1 - C_6 alkyl;

(h)

$$-(CR_{191}R_{192})_{b}$$

wherein

i is 0, 1 or 2 as hereinbefore defined; each R₁₉₀ is independently hydrogen, alkyl or halogen; b is 0, 1, or 2 as hereinbefore defined; each R₁₉₁ and R₁₉₂ is independently

(i)

hydrogen or C₁-C₆alkyl;

wherein

a is 1, 2 or 3 as hereinbefore defined; each R₁₉₃ and R₁₉₄ is independently hydrogen or C₁-C₆alkyl; R₁₉₅ is hydrogen, halogen or C₁-C₆alkyl;

(j)

wherein

e is 2, 3, 4, 5 or 6 as hereinbefore defined; b is 0, 1 or 2 as hereinbefore defined;

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each R_{196} and R_{197} is independently hydrogen or C_1 - C_6 alkyl; each R_{198} and R_{199} is independently hydrogen or C_1 - C_6 alkyl;

(l)

(m)

(n)

 $\begin{array}{c} \begin{array}{c} H & O \\ \hline ---(CR_{200}R_{201})_w & N \end{array} \\ \end{array}$ wherein each R_{200} and R_{201} is independently hydrogen or C_1 - C_6 alkyl; w is 1, 2 or 3 as hereinbefore defined;

$$---(CR_{202}R_{203})_w$$
 $---NR_{204}R_{205}$ wherein each R_{202} , R_{203} , R_{204} and R_{205} is independently hydrogen or C_1 - C_6 alkyl; and w is 1, 2 or 3 is as hereinbefore defined;

(o)
$$---(CR_{208}R_{209}) ---NR_{210}R_{211}$$

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wherein

each R_{208} , R_{209} , R_{210} and R_{211} is independently hydrogen or C_1 - C_6 alkyl; w is 1, 2 or 3 as hereinbefore defined;

(p)

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46. A method of treating conditions or disorders of the central nervous system comprising administering to a patient in need thereof a therapeutically effective amount of a compound of formula IA, or a pharmaceutically acceptable salt thereof wherein said compound of formula IA has the structure:

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$$(R_3)_{g} \xrightarrow{\stackrel{?}{\longrightarrow}} N = R_1$$

$$R_2$$

$$|A|$$

wherein

A is CH or N; n is 1 or 2; when n is 1, y is 0 or 2; when n is 2, y is 0; g is 1 or 2; each R_3 is independently hydrogen, C_1 - C_6 alkyl, or

wherein w is 1, 2, or 3;

R is selected from the group consisting of (a) - (w):

$$d) \qquad \bigvee_{N_{2}} (R)_{p}$$

$$(R_{11})_p$$

j)

$$(R_{72})_p$$
 N
 R_{73}

r)
$$(R_{78})_p$$

s)
$$(R_{76})_p$$

t)
$$(R_{p})_{p}$$
 N

wherein

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each R_4 , R_5 , R_6 , R_7 , R_8 , R_9 , R_{10} , R_{11} , R_{12} and R_{18} is independently hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl, - CO_2 C₁- C_6 alkyl or - CH_2 OC₁- C_6 alkyl;

each R_{71} , R_{72} , R_{74} and R_{80} is independently hydrogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, trifluoromethyl, - CO_2C_1 - C_6 alkyl or - CH_2OC_1 - C_6 alkyl;

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 R_{73} is hydrogen, alkyl, pyridyl, benzyl, $-CH_2CF_3$, $-CO_2C_1-C_6$ alkyl, phenyl optionally substituted with halogen, trifluoromethyl, trifluoromethoxy or R_{73} is

wherein w is 1, 2 or 3 as hereinbefore defined;

each R_{75} is hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; each R_{76} is hydrogen, halogen, -CN or C_1 - C_6 alkyl; each R_{77} is hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; each R_{78} hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; each R_{79} hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; p, s and x are 0, 1, or 2;

each R_{13} is independently hydrogen, C_1 - C_6 alkyl, halogen, benzyl, trifluoromethyl, C_1 - C_6 alkoxy, nitro, -CN, or -COC $_1$ - C_6 alkyl;

R₁₆ is C₁-C₆alkyl;

each R_{14} and R_{15} is independently hydrogen or C_1 - C_6 alkyl;

R₁₇ is hydrogen, C₁-C₆alkyl, Ar, -COAr, -CONHAr or -SO₂-Ar wherein Ar is a phenyl group which is optionally mono- or di-substituted with substituents independently selected from C₁-C₆alkyl, halogen, trifluoromethyl, C₁-C₆alkoxy, nitro, CN and COC₁-C₆alkyl; and

m is 0, 1, or 2;

represents a group selected from (a) - (f):

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b)

and the state of t

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(c)

(d)

(e)

(f)

wherein

each R₁₉ and R₂₀ is independently hydrogen, hydroxy or C₁-C₆alkyl;

 R_{21} , R_{22} , and R_{23} are each independently hydrogen or $C_1\text{-}C_3$ linear alkyl; and d is 3 or 4;

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R₁ is a) hydrogen;

b) C₁-C₆alkyl optionally mono- or di-substituted with hydroxy; or

c)

wherein

each R_{24} is independently hydrogen or C_1 - C_6 alkyl;

each R_{25} , and R_{26} is independently hydrogen or

C₁-C₆alkyl;

t is 0 or 1; and

q is 0 or 1;

R₂ is a group selected from (a) - (jj):

(a)
$$---(CH2)z --- (CR2728)z$$

(b)
$$(M)_h$$
 $(CR_{29}R)_{30u}$

(c)
$$(CR_3R_3)_0$$
 $(R_{63})_h$

(d)
$$-(CR_{33}R_{34})$$
 $(R_{64})_h$

(e)
$$-(CR_{35}R_{30})_{j}$$
 $(R_{65})_{h}$

(f)

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and a surface and a surface and a surface and as the surface and a surfa

(g)

(h)

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(i)

25 (j)

ee) ff)
$$(CR_{127}R_{128})_{w}N$$
 $(CR_{130}R_{131})_{w}S$ $(CR_{130}R_{131})_{w}S$ $(CR_{130}R_{131})_{w}S$ $(CR_{131}R_{132})_{w}S$ $(CR_{132}R_{138})_{w}S$ $(CR_{132}R_{138})_{w}S$ $(CR_{132}R_{138})_{w}S$ $(CR_{132}R_{138})_{w}S$

ii)
$$CR_{39}R_{140}$$
 N

wherein

each $R_{\rm 27}$ and $R_{\rm 28}$ is independently selected from:

- (1) hydrogen;
- (2) C₁-C₆alkyl;
- (3) C₁-C₆alkoxy;
- (4) $-CO_2$ - R_{43} wherein R_{43} is hydrogen or C_1 - C_6 alkyl;
- (5) hydroxy;
- (6) $-(CH_2)_a$ -OR₄₄ wherein a is 1, 2 or 3 and R₄₄ is hydrogen or C₁-C₆alkyl;
- (7) –(CO)-NR₄₅R₄₆
 wherein R₄₅ and R₄₆ are each independently hydrogen, C₁-C₂alkyl, or R₄₅ and R₄₆ taken together form a 5-membered monocyclic ring;

z is 0 or 1; e is 2, 3, 4, 5, 6 or 7; h is 0, 1, 2 or 3; u is 0, 1, 2, 3 or 4;

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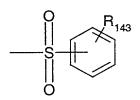
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o is 0 or 1; I is 0 or 1; j is 0, 1, 2 or 3; v is 0, 1, 2, 3 or 4; w is 1, 2 or 3 as hereinbefore defined; f is 1, 2, 3 or 4; t is 0 or 1 as hereinbefore defined; b is 0, 1 or 2; q is 0 or 1as hereinbefore defined; aa is 0 or 2;

X is O, S or NR₉₀ wherein R₉₀ is hydrogen, C₁-C₆alkyl, or



wherein R₁₄₃ is hydrogen or alkyl;

each M and V is a group independently selected from hydrogen, halogen, C₁-C₆alkyl, C₁-C₆alkoxy, trifluoromethyl, hydroxy, phenyl, phenoxy, -SO₂NH₂ or



-NR₄₈R₄₉ wherein R₄₈ and R₄₉ are each independently hydrogen or C₁-C₂alkyl;

each R₃₁, R₃₂, R₃₃, R₃₄, R₃₅, R₃₆, R₃₇, R₃₈, R₃₉, R₄₀, R₆₈, and R₆₉ is independently hydrogen or C₁-C₆alkyl; each R₂₉, R₃₀ is independently hydrogen, phenyl or C₁-C₆alkyl; each R₈₃, R₈₄, R₈₆, R₈₇, R₈₈, R₈₉, R₉₂, R₉₃, R₉₈, R₉₉, R₉₄,

 $R_{95},\,R_{100},\,R_{101},\,R_{103},\,R_{104},\,R_{105},\,R_{106},\,R_{108},\,R_{109},\,R_{110},\,R_{111},$ R₁₁₃, R₁₁₄, R₁₁₅, R₁₁₆, R₁₁₇, R₁₁₈, R₁₁₉, R₁₂₀, R₁₂₂, R₁₂₃,

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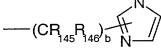
 R_{124} , R_{125} , R_{127} , R_{128} , R_{130} , R_{131} , R_{133} , R_{134} , R_{135} , R_{136} , R_{137} , R_{138} , R_{139} and R_{140} is independently hydrogen or C_1 - C_6 alkyl; each R_{63} , R_{64} and R_{65} is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; each R_{66} is independently hydrogen, hydroxy, C_1 - C_6 alkyl or C_1 - C_6 alkoxy; C_1 - C_6 alkyl or C_1 - C_6 alkoxy; C_1 - C_6 alkyl or C_1 - C_6 alkoxy; C_1 - C_6 alkyl or C_1 - C_6 alkoxy; C_1 - C_6 alkyl, halogen, nitro or a phenyl C_1 - C_6 alkyl, halogen, nitro or a phenyl

group optionally mono-substituted with C₁-C₆alkyl, halogen or trifluoromethyl;

R₈₁ is hydrogen, C₁-C₆alkyl, or -CO₂C₁-C₆alkyl;

R₉₁ is hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy;

R₉₆ is hydrogen, C₁-C₆alkyl or



wherein R_{145} and R_{146} are each independently hydrogen or C_1 - C_6 alkyl and b is 0, 1 or 2 as hereinbefore defined;

 R_{97} is hydrogen or C_1 - C_6 alkyl; each R_{102} is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

each R₁₂₁ is independently hydrogen, halogen,

C₁-C₆alkyl or C₁-C₆alkoxy;

R₁₂₇ is hydrogen or C₁-C₆alkyl;

R₁₀₇ is hydrogen or C₁-C₆alkyl;

R₁₂₆ is C₁-C₆alkyl or benzyl;

R₁₂₉ is hydrogen or C₁-C₆alkyl;

 R_{132} is hydrogen, $C_1\text{-}C_6$ alkyl, halogen or $C_1\text{-}C_6$ alkoxy;

X₃ is O or -NR₁₂₇ wherein R₁₂₇ is hydrogen or

C₁-C₆alkyl;

 X_4 is O, S or -NR₁₄₃ wherein R₁₄₃ is hydrogen or

C₁-C₆alkyl;

R₁₄₁ is hydrogen, C₁-C₆alkyl or amino;

R₁₄₂ is benzyl or phenyl each of which may be optionally substituted with C₁-C₆alkyl, halogen or C₁-C₆alkoxy;

R₁₄₄ is hydrogen or C₁-C₆alkyl;

 R_{85} is hydrogen, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, - CO_2C_1 - C_6 alkyl,

 $C(O)C_1$ - C_6 alkyl or a group selected from the following:

c)
$$(CR_{150}R_{151})_{w}$$
 $(CR_{152}R_{153})_{e}$

g)
$$--(CR_{162}R_{163}R_{N})$$

wherein

j is 0, 1, 2 or 3 as hereinbefore defined; w is 1, 2 or 3 as hereinbefore defined; m is 0, 1 or 2 as hereinbefore defined; e is 2, 3, 4, 5, 6 or 7 as hereinbefore defined;

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each R_{147} , R_{148} , R_{150} , R_{151} , R_{152} , R_{153} , R_{156} , R_{157} , R_{159} , R_{160} R_{162} and R_{163} is independently hydrogen or C_1 - C_6 alkyl; R_{149} is hydrogen, halogen, C_1 - C_6 alkyl, phenoxy, trifluoromethyl or trifluoromethoxy;

R₁₅₅ is hydrogen, halogen or C₁-C₆alkyl;

R₁₅₈ is hydrogen or C₁-C₆alkyl;

R₁₆₁ is hydrogen or C₁-C₆alkyl;

R₁₆₄ is hydrogen, halogen, C₁-C₆alkyl or trifluoromethyl;

R₁₆₅ is hydrogen, C₁-C₆alkyl or halogen;

 X_7 is O or S or -NR₁₆₇ wherein R₁₆₇ is hydrogen or C₁-C₆alkyl;

R₁₆₆ is hydrogen or C₁-C₆alkyl;

or R₁ and R₂ are joined together to form a 5-, 6-, or 7-membered monocyclic saturated ring, and in which the ring is optionally mono- or di-substituted, the substituents independently selected from:

- (1) C_1 - C_6 alkyl;
- (2) $-CO_2-(C_1-C_6alkyl)$;
- (3) –NR₅₀R₅₁ wherein R₅₀ and R₅₁ are each independently hydrogen, C₁-C₆alkyl, or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C₁-C₆alkyl, halogen or trifluoromethyl;
- (4) -C(O)phenyl wherein the phenyl group is optionally mono- or disubstituted with substituents independently selected from C₁-C₆alkyl, halogen or trifluoromethyl;
- (5) −(CH₂)_mOR₅₂ wherein R₅₂ is hydrogen or C₁-C₂alkyl or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C₁-C₆alkyl, halogen or trifluoromethyl, and m is 0, 1 or 2 as hereinbefore defined;
- (6) $-NR_{54}$ - COR_{53} wherein R_{54} is hydrogen or C_1 - C_6 alkyl and R_{53} is hydrogen or C_1 - C_2 alkyl;
- (7) = 0;
- (8) -CN;

(9)

$$-(CR_{55}R_{56})_{i}$$

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(10)

(11)

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(12)

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(13)

And there are a court of the co

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wherein

(17)

b is 0, 1 or 2 as hereinbefore defined;

w is 1, 2 or 3 as hereinbefore defined;

t is 0 or 1 as hereinbefore defined;

i is 0, 1 or 2;

v is 0, 1, 2, 3 or 4 as hereinbefore defined;

k is 0 or 1 as hereinbefore defined;

c are 0, 1 or 2;

R₁₆₇ is hydrogen or C₁-C₆alkyl;

each $R_{55},\,R_{56},\,R_{58},\,R_{59},\,R_{169}$ and R_{170} is independently

hydrogen or C₁-C₆alkyl;

each R₅₇ is independently hydrogen, halogen or

C₁-C₆alkyl;

each R₆₀ is independently hydrogen, halogen or

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C₁-C₆alkyl;

 R_{61} and R_{62} are each independently hydrogen or

C₁-C₆alkyl;

R₁₆₈ is hydrogen, thienyl or furanyl;

R₁₇₁ is hydrogen, C₁-C₆alkyl, halogen, trifluoromethyl or

trifluoromethoxy;

or R_1 and R_2 are joined together to form a group of formula X;

$$-N$$

or R₁ and R₂ are joined together to form the group of formula (Y)

$$-N$$
 CH_3
 CH_3
 (Y)

or R_1 and R_2 are joined together to form any of the following groups:

(a)

(b)

(c)

(d)

(e)

$$-N$$

wherein

g is 1 or 2 as hereinbefore defined;

p is 0, 1 or 2 as hereinbefore defined;

 R_{172} is hydrogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

 R_{173} is hydrogen, $C_1\text{-}C_6$ alkyl or phenyl optionally mono- or disubstituted with $C_1\text{-}C_6$ alkyl or halogen; and

 $\ensuremath{\mathsf{R}}_{82}$ is a substituent selected from the following groups:

- (a) C_1 - C_6 alkyl optionally substituted with hydroxy;
- (b) C₁-C₆alkenyl;
- (c) C₁-C₆alkoxy;
- (d) -(CH₂)OC₁-C₆alkyl;
- (e)

$$-X_{8}$$
 (R_{174})

wherein X is -($CR_{175}R_{79}R_{79}$)- or ---($CR_{177}=CR_{189}$ ---

wherein each R_{174} is independently hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl, C_1 - C_6 alkoxy or benzyloxy;

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h is 0, 1, 2 or 3 as hereinbefore defined; each R₁₇₅, R₁₇₆, R₁₇₇ and R₁₇₈ is independently hydrogen or C₁-C₆alkyl; and j is 0, 1, 2 or 3 as hereinbefore defined;

(f)
$$\begin{array}{c} (O)_{aa} \\ -S - X_9 \end{array}$$

wherein
$$X_9$$
 is -($CR_{180}R_{181}$)- or ----- ($CR_{184}R_{185}CR_{186}$ = CR_{187})----- or ----- (CR_{182} = CR_{183})----

wherein

aa is 0 or 2 as hereinbefore defined; R₁₇₉ is hydrogen, C₁-C₆alkyl, halogen, trifluoromethyl,

C₁-C₆alkoxy, benzyloxy or phenyl; each R₁₈₀, R₁₈₁, R₁₈₂, R₁₈₃, R₁₈₄, R₁₈₅, R₁₈₆ and R₁₈₇ is independently hydrogen or C₁-C₆alkyl;

j is 0, 1, 2, or 3 as hereinbefore defined;

wherein w is 1, 2 or 3 as hereinbefore defined; each R₁₈₈ and R₁₈₉ is independently hydrogen or C₁-C₆alkyl;

(h)

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$$--(CR_{191}R_{192})_{b}$$

wherein

i is 0, 1 or 2 as hereinbefore defined; each R_{190} is independently hydrogen, alkyl or halogen; b is 0, 1, or 2 as hereinbefore defined; each R_{191} and R_{192} is independently hydrogen or C_1 - C_6 alkyl;

$$-(CR_{193}R_{194})_a$$

wherein

a is 1, 2 or 3 as hereinbefore defined; each R₁₉₃ and R₁₉₄ is independently hydrogen or C₁-C₆alkyl; R₁₉₅ is hydrogen, halogen or C₁-C₆alkyl;

$$--(CR_{196}R_{197})_{b}$$
 $(CR_{198}R_{199})_{e}$

wherein

e is 2, 3, 4, 5 or 6 as hereinbefore defined; b is 0, 1 or 2 as hereinbefore defined; each R_{196} and R_{197} is independently hydrogen or C_1 - C_6 alkyl; each R_{198} and R_{199} is independently hydrogen or C_1 - C_6 alkyl;

(l)

wherein

each R_{200} and R_{201} is independently hydrogen or C_1 - C_6 alkyl; w is 1, 2 or 3 as hereinbefore defined;

(m)

wherein

each R_{202} , R_{203} , R_{204} and R_{205} is independently hydrogen or C_1 - C_6 alkyl; and w is 1, 2 or 3 is as hereinbefore defined;

(n)

$$---(CR_{206}R_{207w} - OC_1 - C_6 alkyl$$

wherein

 C_1 - C_6 alkyl is optionally substituted with hydroxy;

each R_{206} and R_{207} is independently hydrogen or C_1 - C_6 alkyl; and

w is 1, 2 or 3 as hereinbefore defined;

(0)

wherein

each R₂₀₈, R₂₀₉, R₂₁₀ and R₂₁₁ is independently hydrogen or C₁-C₆alkyl; w is 1, 2 or 3 as hereinbefore defined;

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with the proviso that when n is 1; and y is 0; and R_3 is hydrogen or C_1 - C_6 alkyl;

$$-\left\{B\right\}$$

and

is group (a),

and R is group:

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- (a) wherein R₄ is hydrogen, halogen or C₁-C₆alkyl, and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:
 - (a) wherein z is 0,
 - (b) wherein u is 0 and M is hydrogen, halogen, C₁-C₆alkyl, or trifluoromethyl,
 - (c) wherein o is 0,
 - (d) wherein I is 0,
 - (e) wherein j is 0,
 - (g) wherein v is 0, or
 - (i);

and also when R is the group of formula (a), R1 and R2 cannot be joined together to form the group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C1-C₆alkyl;

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- (b) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:
- 25 (a),
 - (b),
 - (d) wherein I is 0,
 - (k),
 - (I), or
 - (m) wherein Q is CH2;

and also when R is the group of formula (b), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-

wherein said ring is unsubstituted or mono- or di-substituted with $\ensuremath{C_{1}}\xspace$ $\ensuremath{C_{6}}\xspace$ alkyl or

(d) and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl, then R_2 cannot be a group of the following formula:

- (a),
- (b) wherein u is 1,
- (d),
- (k),
- (l), or
- (m) wherein Q is CH₂;

and also when R is the group of formula (d), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C_1 - C_6 alkyl or

(e) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:

- (a),
- (b),
- (d),
- (k),
- (I), or

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(m) wherein Q is CH₂;

and also when R is the group of formula (e), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C_1 - C_6 alkyl or

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following formula:

- (a),
- (b),
- (d),
- (k),
- (I), or
- (m) wherein Q is CH₂;

and also when R is the group of formula (f), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

(f) and R₁ is hydrogen or unsubstituted C₁-C₀alkyl, then R₂ cannot be a group of the

wherein said ring is unsubstituted or mono- or di-substituted with C_1 - C_6 alkyl or

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(g) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:

- (a),
- (b) wherein u is1,
- (d),

(l), or

(m) wherein Q is CH2;

and also when R is the group of formula (g), R1 and R2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C1-C₆alkyl or

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(b),

(d),

(a),

following formula:

(k),

(l), or

(m) wherein Q is CH2;

and also when R is the group of formula (h), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7membered monocyclic ring

(h) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or

$$(CR_{58}R_{59})_{k}$$
 ; or

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(j), then R_1 and R_2 cannot be joined together to form a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

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wherein said ring is unsubstituted or mono- or di-substituted with $C_1\text{-}C_6$ alkyl.

- The method of claim 46, wherein the central nervous system disorder is selected from
 Psychotic Disorders, Substance Dependence, Substance Abuse, Dyskinetic Disorders,
 Dementia, Anxiety Disorders, Sleep Disorders, Circadian Rhythm Disorders, Mood Disorders and Nausea.
 - 48. The method of claim 47 wherein the Psychotic Disorder is Schizophrenia.
 - 49. The method of claim 48 wherein the compound of formula IB is administered in conjunction with one or more dopamine D₁, D₂, D₄, D₅, or 5HT receptor antagonists.
 - 50. A pharmaceutical composition comprising an effective amount of a compound of claim 1 with a pharmaceutically-acceptable carrier or diluent.
 - 51. A pharmaceutical composition comprising an effective amount of a compound of claim 1 with a pharmaceutically-acceptable carrier or diluent in conjunction with one or more dopamine D₁, D₂, D₄, D₅ or 5HT receptor antagonists.
 - 52. A depot pharmaceutical composition, which comprises a pharmaceutically acceptable carrier and a therapeutically effective amount of the compound of claim 1, wherein the compound contains an acylated hydroxy group, or an acylated amino group.
- 53. The depot pharmaceutical composition of claim 52, wherein the hydroxy group is acylated, or the amino group is acylated with (C₄-C₁₈)alkanoyl group or a (C₄-C₁₈)alkoxycarbonyl group.
 - 54. The composition of claim 52 which contains a pharmaceutically acceptable oil.
 - 55. The composition of claim 54 wherein the oil is selected from the group consisting of coconut oil, peanut oil, sesame oil, cotton seed oil, corn oil, soybean oil, olive oil, and synthetic esters of fatty acids and polyfunctional alcohols.

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- 56. A method for providing a long acting antipsychotic effect, which comprises injecting into a mammal an amount of the composition of claim 52 sufficient to produce a long acting antipsychotic effect.
- 5 57. A method for providing a long acting antipsychotic effect, which comprises injecting into a mammal an amount of the composition of claim 53 sufficient to product a long acting antipsychotic effect.
- 58. A method for providing a long acting antipsychotic effect, which comprises injecting into a mammal an amount of the composition of claim 54 sufficient to produce a long acting antipsychotic effect.
 - 59. A compound of claim 1 wherein one or more of the atoms contained therein is a radionuclide.
 - 60. A compound of claim 59 wherein R is group (a), with a radiolabeled 14 C in the 3-position of the benzo[b]thiophene ring, R_4 is trifluoromethyl, s is 1, R_3 is hydrogen, n is 1, y is 0, and A is N.
 - 61. A diagnostic method for monitoring neuronal functions in a mammal comprising introducing into a mammal a radiolabeled compound according to claim 59.
- 62. The method of claim 61 wherein said diagnostic method is performed using single positron emission computed tomography.
 - 63. A process for preparing a compound of formula I of claim 1 which comprises:
 - (a) reacting a compound of formula (II):

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wherein R₃, g, y, R, A and n are as defined in formula I of claim 1;

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with a compound of formula (III)

wherein "LG" is a suitable leaving group selected from chlorine, bromine, iodine and

mesyl; and

is as defined in formula I of claim 1;

to provide a compound of formula (IV)

$$R-A$$
 $(R_3)_g$
 $N-B$
OMe

(IV)

(b) hydrolyzing a compound of formula (IV) to provide a compound of formula (V)

$$R - A \underbrace{\begin{pmatrix} (R_3)_g \\ (V) \end{pmatrix}}_{n} V + B \underbrace{\begin{pmatrix} (V) \\ (V) \end{pmatrix}}_{n} OH$$

and (c) reacting a compound of formula (V) with a compound of formula (VI)

wherein R_1 and R_2 are as defined in formula (I) of claim 1; to provide the compound of formula (I).

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- 64. A process for preparing compounds of formula I of claim 1 which comprises:
- (a) reacting a compound of formula (VII)

$$LG - B - N - R_1$$

$$(VII)$$

wherein "LG" is a suitable leaving group selected from chlorine, bromine, iodine and

, R_{1} and R_{2} are as defined in formula I of claim 1;

with a compound of formula (II)

wherein R₃, g, y, R, A and n are as defined in formula I of claim 1;

to provide th compound of formula (I).

15 65. A process for preparing a compound of formula (VIII)

comprising the steps of:

20 a) contacting a compound of formula (IX)

$$\bigcirc$$
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with a reagent of formula (X)

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to provide a compound of formula (XI)

(b) treating the compound of formula (XI) with triphenylphosphine followed by bromine to provide the compound of formula (VIII).

10 66. A process for preparing a compound of formula (XII)

comprising the step of coupling a compound of formula (XIII)

with a compound of formula (XIV)

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to provide the compound of formula (XII).

- 5 67. A method of treating renal dysfunction which comprises administering to a patient in need thereof a therapeutically effective amount of the compound of claim 1.
 - 68. The compound of claim 1 wherein R is (a).
- 10 69. The compound of claim 1 wherein R is (b).
 - 70. The compound of claim 1 wherein R is (c).
 - 71. The compound of claim 1 wherein R is (d).
 - 72. The compound of claim 1 wherein R is (e).
 - 73. The compound of claim 1 wherein R is (f).
- 20 74. The compound of claim 1 wherein R is (g).
 - 75. The compound of claim 1 wherein R is (h).
 - 76. The compound of claim 1 wherein R is (i).
 - 77. The compound of claim 1 wherein R is (j).
 - 78. The compound of claim 1 wherein R is (k).
- 30 79. The compound of claim 1 wherein R is (I).
 - 80. The compound of claim 1 wherein R is (m).

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- 81. The compound of claim 1 wherein R is (n).
- 82. The compound of claim 1 wherein R is (o).
- 83. The compound of claim 1 wherein R is (p).
- 84. The compound of claim 1 wherein R is (q).
- 10 85. The compound of claim 1 wherein R is (r).
 - 86. The compound of claim 1 wherein R is (s).
 - 87. The compound of claim 1 wherein R is (t).
 - 88. The compound of claim 1 wherein R is (u).
 - 89. The compound of claim 1 wherein R is (v).
- 20 90. The compound of claim 1 wherein R is (w).